

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. * CITE NO.: Those application(s) which are marked with an single asterisk (*) next to the Cite No. are not supplied (under 37 CFR 1.98(a)(2)(iii)) because that application was filed after June 30, 2003 or is available in the IFW. ¹ Applicant's unique citation designation number (optional). ² See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

Substitute for form 1449A/PTO <h1>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</h1> <i>(Use as many sheets as necessary)</i>				Complete if Known	
				Application Number	10/585,504
				Filing Date	February 14, 2008
				First Named Inventor	Haolun Jin
				Art Unit	4161
				Examiner Name	McDowell, Brian E.
				Attorney Docket Number	587.PFUS
Sheet	2	of	6		

[illegible]

FOREIGN PATENT DOCUMENTS						
Examiner Initials*	Cite No. ¹	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages Or Relevant Figures Appear	T ⁶
		Country Code ³ -Number ⁴ -Kind Code ⁵ (if known)				
/B.M./		WO-02/055079	07-18-2002	Merck & Co Inc et al.		
/B.M./		WO-02/30426	04-18-2002	Merck & Co Inc et al.		
/B.M./		WO-02/30930	04-18-2002	Merck & Co Inc et al.		
/B.M./		WO-02/30931	04-18-2002	Merck & Co Inc et al.		
/B.M./		WO-02/36734	05-10-2002	Merck & Co Inc et al.		
/B.M./		WO-03/035076	05-01-2003	Angeletti P Ist Recherche Bio et al.		
/B.M./		WO-03/035077	05-01-2003	Angeletti P Ist Recherche Bio et al.		
/B.M./		WO-04/062613	07-29-2004	Squibb Bristol Myers Co et al.		
/B.M./		WO-04/096128	11-11-2004	Squibb Bristol Myers Co et al.		
/B.M./		WO-05/061490	07-07-2005	Shionogi & Co et al.		

EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. * CITE NO.: Those application(s) which are marked with an single asterisk (*) next to the Cite No. are not supplied (under 37 CFR 1.98(a)(2)(iii)) because that application was filed after June 30, 2003 or is available in the IFW. ¹ Applicant's unique citation designation number (optional). ² See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449/PTO				Complete if Known	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use as many sheets as necessary)				Application Number	10/585,504
				Filing Date	February 14, 2008
				First Named Inventor	Haolun Jin
				Art Unit	4161
				Examiner Name	McDowell, Brian E.
Sheet	3	of	6	Attorney Docket Number	587.PFUS

NON PATENT LITERATURE DOCUMENTS			
Examiner Initials	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T ²
/B.M./		ALMANSA et al. (1995) "4-(2-Pyridyl)-2,2-Dimethylnaphthalen-1-Ones as New Potassium Channel Activators with Increased Airways Selectivity," <i>Bioorganic & Medicinal Chemistry Letters</i> 5(16):1833-1838	
/B.M./		ARTICO et al. (1998) "Geometrically and Conformationally Restrained Cinnamoyl Compounds as Inhibitors of HIV-1 Integrase: Synthesis, Biological Evaluation, and Molecular Modeling," <i>J. Med. Chem.</i> 41:3948-3960	
/B.M./		BALSIGER et al. (1959) "Synthesis of Potential Anticancer Agents, XVIII. Analogs of Carbamoyl Phosphate," <i>J. Org. Chem.</i> 24(3):434-436	
/B.M./		BEAUCHAMP et al. (1992) "Amino Acid Ester Prodrugs of Acyclovir," <i>Antiviral Chemistry & Chemotherapy</i> 3(3):157-164	
/B.M./		BENZARIA et al. (1996) "Synthesis, in Vitro Antiviral Evaluation, and Stability Studies of Bis(S-Acyl-2-thioethyl) Ester Derivatives of 9-[2-(Phosphonomethoxy)ethyl]adenine (PMEA) as Potential PMEA Prodrugs with Improved Oral Bioavailability," <i>J. Med. Chem.</i> 39:4958-4965	
/B.M./		BEUSEN et al. (1995) "Solid-State Nuclear Resonance Analysis of the Conformation of an Inhibitor Bound to Thermolysin," <i>J. Med. Chem.</i> 38:2742-2747	
/B.M./		BHUTA et al. (1980) "Analogues of Chloramphenicol: Circular Dichroism Spectra, Inhibition of Ribosomal Peptidyltransferase, and Possible Mechanism of Action," <i>J. Med. Chem.</i> 23:1299-1305	
/B.M./		BIGGE et al. (1992) "Exploration of N-Phosphonoalkyl-, N-Phosphonalkenyl-, and N-(Phosphonoalkyl)phenyl-Spaced α -Amino Acids as Competitive N-Methyl-D-Aspartic Acid Antagonists," <i>J. Med. Chem.</i> 68:1371-1384	
/B.M./		BUNDGAARD, H. (1991) "Design and Application of Prodrugs," <i>Textbook of Drug Design and Development</i> 113-191	
/B.M./		BUOLAMWINI and ASSEFA (2002) "CoMFA and CoMSIA 3D QSAR and Docking Studies on Conformationally-Restrained Cinnamoyl HIV-1 Integrase Inhibitors: Exploration of a Binding Mode at the Active Site," <i>J. Med. Chem.</i> 45:841-852	
/B.M./		BURGER and ANDERSON (1957) "Monoesters and Ester-amidates of Aromatic Phosphonic Acids," <i>J. Am Chem Soc.</i> 79:3575-3579	
/B.M./		CAMPAGNE et al. (1995) "(1H-Benzotriazol-1-yloxy)tris(dimethylamino)phosphonium Hexafluorophosphate- and (1H-Benzotriazol-1-yloxy)tripyrrolidinophosphonium Hexafluorophosphate-Mediated Activation of Monophosphonate Esters: Synthesis of Mixed Phosphonate Diesters, the Reactivity of the Benzotriazolyl Phosphonic Esters vs the Reactivity of the Benzotriazolyl Carboxylic Esters," <i>J. Org. Chem.</i> 60:5214-5223	
/B.M./		CARTER et al. (1965) "Carbobenzoxy Chloride and Derivatives," <i>Organic Syntheses Collective</i> 3:167-169	
/B.M./		CHEN et al. (1997) "Design, Synthesis and Biochemical Evaluation of Phosphonate and Phosphoramidate Analogs of Glutathionylspermidine as Inhibitors of Glutathionylspermidine Synthetase/Amidase from <i>Escherichia Coli</i> ," <i>J. Med. Chem.</i> 40:3842-3850	
/B.M./		COLEMAN and CARPENTER (1992) "Synthesis of the Aziridino[1,2-a]pyrrolidine Substructure of the Antitumor Agents," <i>J. Org. Chem.</i> 57:5813-5815	
/B.M./		COREY and SUGGS (1973) "Selective Cleavage of Allyl Ethers Under Mild Conditions by Transition Metal Reagents," <i>J. Org. Chem.</i> 38(18):3224	
/B.M./		DARBY, G. (1995) "In Search of the Perfect Antiviral," <i>Antiviral Chemistry & Chemotherapy</i> 6(Suppl.1):54-63	

Substitute for form 1449/PTO INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use as many sheets as necessary)				Complete if Known	
				Application Number	10/585,504
				Filing Date	February 14, 2008
				First Named Inventor	Haolun Jin
				Art Unit	4161
				Examiner Name	McDowell, Brian E.
Sheet	4	of	6	Attorney Docket Number	587.PFUS

/B.M./	DE LOMBAERT et al. (1994) "N-Phosphonomethyl Dipeptides and Their Phosphonate Prodrugs, A New Generation of Neutral Endopeptidase (NEP, EC 3,4,24.11) Inhibitors," <i>J. Med. Chem.</i> 37:498-511	
/B.M./	EFFENBERGER and BRODT (1985) "2(1h)-Pyridon als Austrittsgruppe bei Acylierungsreaktionen-Anwendungen in der Peptidchemie," <i>CHEM BER</i> 118:468-482	
/B.M./	EFIMOV et al. (1998) "Synthesis of DNA Analogues with Novel Carboxamidomethyl Phosphonamide and Glycinamide Internucleoside Linkages," <i>Bioorganic & Medicinal Chemistry Letters</i> 8:1013-1018	
/B.M./	ESPESETH et al. (2000) "HIV-1 Integrase Inhibitors that Compete with the Target DNA Substrate Define A Unique Strand Transfer Conformation for Integrase," <i>PNAS</i> 97(21):11244-11249	
/B.M./	FARNET et al. (1996) "Differential Inhibition of HIV-1 Preintegration Complexes and Purified Integrase Protein by Small Molecules," <i>Proc. Natl. Acad. Sci. USA</i> 93:9742-9747	
/B.M./	FARQUHAR et al. (1983) "Biologically Reversible Phosphate-Protective Groups," <i>Journal of Pharmaceutical Sciences</i> 72(3):324-325	
/B.M./	GALEOTTI et al. (1996) "A Straightforward Synthesis of Amino Phosphonate Monoesters Using BroP or TPyCIU," <i>Tetrahedron Letters</i> 37(23):3997-3998	
/B.M./	GALI et al. (2000) "Facile Ring-Opening Reactions of Phthalimides as a New Strategy to Synthesize Amide-Functionalized Phosphonates, Primary Phosphines, and Bisphosphines," <i>J. Org. Chem.</i> 65:676-680	
/B.M./	GOLDGUR et al. (1999) "Structure of the HIV-1 Integrase Catalytic Domain Complexed with an Inhibitor: A Platform for Antiviral Drug Design," <i>PNAS</i> 96(23):13040-13043	
/B.M./	GRIFFIN and BURGER (1956) "D-Glucopyranose 6-Deoxy-6-Phosphonic Acid," <i>JAM Chem Soc.</i> 78(10):2336-2338	
/B.M./	HAKIMELAHI et al. (1995) "Design, Synthesis, and Structure - Activity Relationship of Novel Dinucleotide Analogs as Agents against Herpes and Human Immunodeficiency Viruses," <i>J. Med. Chem.</i> 38:4648-4659	
/B.M./	HAZUDA et al. (1994) "A Novel Assay for the DNA Strand -Transfer Reaction of HIV-1 Integrase," <i>Nucleic Acids Research</i> 22(6):1121-1122	
/B.M./	HAZUDA et al. (1997) "Differential Divalent Cation Requirements Uncouple the Assembly and Catalytic Reactions of Human Immunodeficiency Virus Type I Integrase," <i>Journal of Virology</i> 71(9):7005-7011	
/B.M./	HAZUDA et al. (1997) "Discovery and Analysis of Inhibitors of the Human Immunodeficiency Integrase," <i>Drug, Design and Discovery</i> 15:17-24	
/B.M./	HAZUDA et al. (2000) "Inhibitors of Strand Transfer that Prevent Integration and Inhibit HIV-1 Replication in Cells," <i>Science</i> 287:646-650	
/B.M./	HUGHES, D. (1992) "The Mitsunobu Reaction," <i>Organic Reactions</i> 42:335-381	
/B.M./	HUNIG et al. (1965) "The Chemistry of Diimine," <i>Angew Chem. Internat. Edit.</i> 4(4):271-280	
/B.M./	JACOB, Peyton III (1982) "Resolution of -5- Bromonornicotine. Synthesis of (R)- and (S)- Nornicotine of High Enantiomeric Purity," <i>J. Org. Chem.</i> 47:4165-4167	
/B.M./	JING et al. (2002) "Potassium-Dependent Folding: A Key to Intracellular Delivery of G-Quartet Oligonucleotides as HIV Inhibitors," <i>Biochemistry</i> 41:5397-5403	
/B.M./	KATZMAN and KATZ (1999) "Substrate Recognition by Retroviral Integrases," <i>Advances in Virus Research</i> 52:371-395	
/B.M./	KHAMNEI and TORRENCE (1996) "Neighboring Group Catalysis in the Design of Nucleotide Prodrugs," <i>J. Med. Chem.</i> 39:4109-4115	

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449/PTO INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use as many sheets as necessary)				Complete if Known	
				Application Number	10/585,504
				Filing Date	February 14, 2008
				First Named Inventor	Haolun Jin
				Art Unit	4161
				Examiner Name	McDowell, Brian E.
Sheet	5	of	6	Attorney Docket Number	587.PFUS

/B.M./	KHANDAZHINSKAYA et al. (2002) "Carbocyclic Dinucleoside Polyphosphonates: Interaction with HIV Reverse Transcriptase and Antiviral Activity," <i>J. Med. Chem.</i> 45:1284-1291	
/B.M./	KRISE and STELLA (1996) "Prodrugs of Phosphates, Phosphonates, and Phosphinates," <i>Advanced Drug Delivery Reviews</i> 19:287-310	
/B.M./	KUNZ and WALDMANN (1985) "71. Synthesis of the Glycopeptid Partial Sequence A ⁸⁰ - A ⁸⁴ of Human Fibroblast Interferon," <i>Helvetica Chimica Acta</i> 68:618-622	
/B.M./	LAFEMINA et al. (1992) "Requirement of Active Human Immunodeficiency Virus Type 1 Integrase Enzyme for Productive Infection of Human T-Lymphoid Cells," <i>Journal of Virology</i> 66(12):7414-7419	
/B.M./	LOCHMULLER, C. (1975) "Chromatographic Resolution of Enantiomers Selective Review," <i>Journal of Chromatography</i> 113:283-302	
/B.M./	MATTSON et al. (1990) "An Improved Method for Reductive Alkylation of Amines Using Titanium (IV) Isopropoxide and Sodium Cyanoborohydride ¹ ," <i>J. Org. Chem.</i> 55:2552-2554	
/B.M./	MITCHELL et al. (1992) "Bioreversible Protection for the Phospho Group: Bioactivation of the Di(4-acyloxybenzyl) and Mono(4-acyloxybenzyl) Phosphoesters of Methylphosphonate and Phosphonoacetate," <i>J. Chem. Soc. Perkin Trans.</i> 2345-2353	
/B.M./	MLADENOVA et al. (1995) "An Efficient Synthesis of Enediyne and Arenediyne Lactams," <i>Synthetic Communications</i> 25(9):1401-1410	
/B.M./	MORGAN et al. (1994) "Structure-Based Design of an Inhibitor of the Zinc Peptidase Thermolysin," <i>J. Am. Chem. Soc.</i> 116:3251-3260	
/B.M./	MORR et al. (2001) "Formation of Phostonic Acids During the Reduction of Azidonucleosidephosphonic Acids," <i>Tetrahedron Letters</i> 42:8841-8843	
/B.M./	MORRIS and WISHKA (1991) "Vinyl Sulfonyl Esters and Amides in the Synthesis of Substituted δ -Sultams and δ -Sultones," <i>J. Org. Chem.</i> 56:3549-3556	
/B.M./	MOSS et al. (1987) "A Convenient Preparation of 1,2-Diacetylglycerols: α -Iodobenzoyl as a Protecting Group," <i>Tetrahedron Letters</i> 28(42):5005-5008	
/B.M./	MUSIOL et al. (1994) "On the Synthesis of Phosphonamidate Peptides," <i>J. Org. Chem.</i> 59:6144-6146	
/B.M./	NAIR, V. (2002) "HIV Integrase as a Target for Antiviral Chemotherapy," <i>Rev. Med. Virol.</i> 12:179-193	
/B.M./	NEAMATI, N. (2002) "Patented Small Molecule Inhibitors of HIV-1 Integrase: A 10-Year Saga," <i>Expert Opin. Ther. Patents</i> 12(5):709-724	
/B.M./	NEUSTADT, B. (1994) "Facile Preparation of N-(Sulfonyl)carbamates," <i>Tetrahedron Letters</i> 35(3):379-380	
/B.M./	OKAMOTO et al. (1990) "Optical Resolution of Dihydropyridine Enantiomers by High-Performance Liquid Chromatography Using Phenylcarbamates of Polysaccharides as a Chiral Stationary Phase," <i>Journal of Chromatography</i> 513:375-378	
/B.M./	OLIYAI et al. (1999) "Aryl Ester Prodrugs of Cyclic HPMPC.I: Physicochemical Characterization and <i>In Vitro</i> Biological Stability," <i>Pharmaceutical Research</i> 16(11):1687-1693	
/B.M./	OLIYAI et al. (1999) "Enhanced Chemical Stability of the Intracellular Prodrug, 1-[(S)-2-Hydroxy-2-Oxo-1,4,2-Dioxaphosphorinan-5-yl)methyl] Cytosine, Relative to its Parent Compound, Cidofovir," <i>International Journal of Pharmaceutics</i> 179:257-265	
/B.M./	PAIS et al. (2002) "Structure Activity of 3-Aryl-1,3-Diketo-Containing Compounds as HIV-1 Integrase Inhibitors ¹ ," <i>J. Med. Chem.</i> 45:3184-3194	
/B.M./	PALELLA et al. (1998) "Declining Morbidity and Mortality Among Patients with Advanced Human Immunodeficiency Virus Infection," <i>The New England Journal of Medicine</i> 338(13):853-860	

Substitute for form 1449/PTO				Complete if Known	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use as many sheets as necessary)				Application Number	10/585,504
				Filing Date	February 14, 2008
				First Named Inventor	Haolun Jin
				Art Unit	4161
				Examiner Name	McDowell, Brian E.
Sheet	6	of	6	Attorney Docket Number	587.PFUS

/B.M./	PHILLION and ANDREW (1986) "Synthesis and Reactivity of Diethyl Phosphonomethyltriflate," <i>Tetrahedron Letters</i> 27(13):1477-1480	
/B.M./	POMMIER and NEAMATI (1999) "Inhibitors of Human Immunodeficiency Virus Integrase," <i>Advances in Virus Research</i> 52:427-459	
/B.M./	POMMIER et al. (2000) "Retroviral Integrase Inhibitors Year 2000: Update and Perspectives," <i>Antiviral Research</i> 47:139-148	
/B.M./	PUECH et al. (1993) "Intracellular Delivery of Nucleoside Monophosphates Through A Reductase-Mediated Activation Process," <i>Antiviral Research</i> 22:155-174	
/B.M./	PUNGENTE and WEILER (2001) "Synthesis and Stereochemical Elucidation of a 14-Membered Ring Phosphonate," <i>Organic Letters</i> 3(5):643-646	
/B.M./	RICHMAN, D. (2001) "HIV Chemotherapy," <i>Nature</i> 410:995-1001	
/B.M./	ROACH et al. (1987) "Fluorescence Detection of Alkylphosphonic Acids Using p-(9-Anthroyloxy)phenacyl Bromide," <i>Anal. Chem.</i> 59:1056-1059	
/B.M./	ROSENBERG and HOLY (1987) "Synthesis of Potential Prodrugs and Metabolites of 9-(S)-(3-Hydroxy-2-Phosphonylmethoxypropyl)Adenine," <i>Collection Czechoslovak Chem. Comm.</i> 52:2792-2800	
/B.M./	SAADY et al. (1995) "Selective Monodeprotection of Phosphonate, Phosphite, Phosphonate, and Phosphoramidate Benzyl Esters," <i>J. Org. Chem.</i> 60:2946-2947	
/B.M./	SARDINA et al (1986) "Studies on the Synthesis of Side-Chain Hydroxylated Metabolites of Vitamin D. 2. Stereocontrolled Synthesis of 25-Hydroxyvitamin D ₂ 1," <i>J. Org. Chem.</i> 51:1264-1269	
/B.M./	SERAFINOWSKA et al. (1995) "Synthesis and in Vivo Evaluation of Prodrugs of 9-[2-(Phosphonomethoxy)ethoxy]adenine," <i>J. Med. Chem.</i> 38:1372-1379	
/B.M./	SHARMA et al (1989) "Spermexatin and Spermexatol: New Synthetic Spermidine-Based Siderophore Analogues," <i>J. Med. Chem.</i> 32:357-367	
/B.M./	SUN, Chong-Qing (2002) "A General Synthesis of Dioxolenone Prodrug Moieties," <i>Tetrahedron Letters</i> 43:1161-1164	
/B.M./	SZABO et al. (1995) "Solid Phase Synthesis of 5'-Methylenephosphonate DNA," <i>Nucleosides & Nucleotides</i> 14(3-5):871-874	
/B.M./	TSUSHIMA et al. (1988) "Fluorine-Containing Amino Acids and Their Derivatives 7.1 Synthesis and Antitumor Activity of α - and γ -Substituted Methotrexate Analogs," <i>Tetrahedron</i> 44(17):5375-5387	
/B.M./	VAN DER LAAN et al. (1996) "An Approach Towards the Synthesis of Oligomers Containing a N-2-Hydroxyethyl-aminomethylphosphonate Backbone: A Novel PNA Analogue," <i>Tetrahedron Letters</i> 37(43):7857-7860	
/B.M./	VIEIRA de ALMEIDA et al. (1999) "Synthesis of Deoxy Phosphatidylinositol Analogues and Phosphonate Isosters of Ins(1,4,5)P ₃ ," <i>Tetrahedron</i> 55:12997-13010	
/B.M./	WOLFE et al. (1996) "The Role of Manganese in Promoting Multimerization and Assembly of Human Immunodeficiency Virus Type 1 Integrase as a Catalytically Active Complex on Immobilized Long Terminal Repeat Substrates," <i>Journal of Virology</i> 70(3):1424-1432	
/B.M./	YAMAUCHI et al. (1984) "Synthesis of Peptide Analogues Containing (2-Aminoethyl)phosphonic Acid (Ciliatine) ¹ ," <i>J. Org. Chem.</i> 49:1158-1163	
/B.M./	YOUNG, Steven D. (2001) "Inhibition of HIV-1 Integrase by Small Molecules: The Potential for a New Class of AIDS Chemotherapeutics," <i>Current Opinion in Drug Discovery & Development</i> 4(4):402-410	
/B.M./	YUAN et al. (2000) "Effect of Carbonate Salts on the Kinetics of Acid-Catalyzed Dimerization of Adefovir Dipivoxil," <i>Pharmaceutical Research</i> 17(9):1098-1103	